Connecting via Winsock to STN

```
Welcome to STN International! Enter x:x
```

LOGINID: SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
* * * * * * * * * *
                      Welcome to STN International
                  Web Page for STN Seminar Schedule - N. America
NEWS
NEWS
      2 OCT 02
                  CA/CAplus enhanced with pre-1907 records from Chemisches
                  Zentralblatt
         OCT 19
NEWS
                  BEILSTEIN updated with new compounds
         NOV 15
NEWS
                  Derwent Indian patent publication number format enhanced
NEWS 5
         NOV 19 WPIX enhanced with XML display format
NEWS 6 NOV 30 ICSD reloaded with enhancements
NEWS 7 DEC 04 LINPADOCDB now available on STN
NEWS 8 DEC 14 BEILSTEIN pricing structure to change
NEWS 9 DEC 17 USPATOLD added to additional database clusters
NEWS 10 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 11 DEC 17 DGENE now includes more than 10 million sequences
NEWS 12 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in
                  MEDLINE segment
NEWS 13 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14 DEC 17 CA/Caplus enhanced with new custom IPC display formats
NEWS 15 DEC 17
                  STN Viewer enhanced with full-text patent content
                  from USPATOLD
NEWS 16
         JAN 02
                  STN pricing information for 2008 now available
NEWS 17
         JAN 16
                  CAS patent coverage enhanced to include exemplified
                  prophetic substances
NEWS 18
                USPATFULL, USPAT2, and USPATOLD enhanced with new
         JAN 28
                  custom IPC display formats
NEWS 19 JAN 28 MARPAT searching enhanced
NEWS 20 JAN 28 USGENE now provides USPTO sequence data within 3 days
                  of publication
NEWS 21 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23 FEB 08 STN Express, Version 8.3, now available
NEWS 24 FEB 20 PCI now available as a replacement to DPCI
NEWS 25 FEB 25 IFIREF reloaded with enhancements
NEWS 26 FEB 25
                  IMSPRODUCT reloaded with enhancements
NEWS 27 FEB 29
                  WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                  U.S. National Patent Classification
NEWS 28
         MAR 31
                  IFICDB, IFIPAT, and IFIUDB enhanced with new custom
                  IPC display formats
NEWS 29
         MAR 31
                  CAS REGISTRY enhanced with additional experimental
                  spectra
NEWS 30
         MAR 31
                  CA/CAplus and CASREACT patent number format for U.S.
                  applications updated
NEWS 31 MAR 31 LPCI now available as a replacement to LDPCI
```

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 10:05:52 ON 31 MAR 2008

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:06:02 ON 31 MAR 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the  ${\tt ZIC/VINITI}$  data file provided by  ${\tt InfoChem.}$ 

STRUCTURE FILE UPDATES: 30 MAR 2008 HIGHEST RN 1011030-42-4 DICTIONARY FILE UPDATES: 30 MAR 2008 HIGHEST RN 1011030-42-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

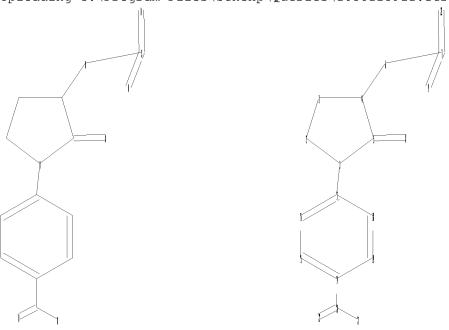
REGISTRY includes numerically searchable data for experimental and

predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10561259z1.str



```
chain nodes :
6 7 8 9 20 21 22 23
ring nodes :
1 2 3 4 5 14 15 16 17 18 19
chain bonds :
1-17 4-6 5-8 6-7 7-9 7-23 14-20 20-21 20-22
ring bonds :
1-2 1-5 2-3 3-4 4-5 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
1-2 1-5 1-17 4-6 5-8 6-7 7-9 7-23 20-21 20-22
exact bonds :
2-3 3-4 4-5 14-20
normalized bonds :
14-15 14-19 15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 14 :
```

G1:Cy, Hy, Ph

G2:Cy, Hy, Ak

G3:Cy, Hy, Ak, Ph

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:06:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 286 TO 954
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:06:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 712 TO ITERATE

100.0% PROCESSED 712 ITERATIONS 57 ANSWERS

SEARCH TIME: 00.00.01

L3 57 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
178.36
178.57

FILE 'HCAPLUS' ENTERED AT 10:06:32 ON 31 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the

the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 31 Mar 2008 VOL 148 ISS 14 FILE LAST UPDATED: 30 Mar 2008 (20080330/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 3 L3

=> s 14 and py<=2003 23980128 PY<=2003 L5 1 L4 AND PY<=2003

=> FIL REGISTRY
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
10.76 189.33

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:08:52 ON 31 MAR 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the  ${\tt ZIC/VINITI}$  data file provided by  ${\tt InfoChem.}$ 

STRUCTURE FILE UPDATES: 30 MAR 2008 HIGHEST RN 1011030-42-4 DICTIONARY FILE UPDATES: 30 MAR 2008 HIGHEST RN 1011030-42-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10561259z2.str

```
chain nodes :
6 7 8 9 20 21 22 23 24
ring nodes :
1 2 3 4 5 14 15 16 17 18 19
chain bonds :
1 - 17 \quad 4 - 6 \quad 5 - 8 \quad 6 - 7 \quad 7 - 9 \quad 7 - 23 \quad 7 - 24 \quad 14 - 20 \quad 20 - 21 \quad 20 - 22
ring bonds :
1-2 \quad 1-5 \quad 2-3 \quad 3-4 \quad 4-5 \quad 14-15 \quad 14-19 \quad 15-16 \quad 16-17 \quad 17-18 \quad 18-19
exact/norm bonds :
1-2 \quad 1-5 \quad 1-17 \quad 4-6 \quad 5-8 \quad 6-7 \quad 7-9 \quad 7-23 \quad 7-24 \quad 20-21 \quad 20-22
exact bonds :
2-3 3-4 4-5 14-20
normalized bonds :
14-15 14-19 15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 14 :
```

G1:Cy, Hy, Ph

G2:Cy, Hy, Ak

G3:Cy, Hy, Ak, Ph

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS

L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS L6 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 16

SAMPLE SEARCH INITIATED 10:09:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 286 TO 954 PROJECTED ANSWERS: 1 TO 80

L7 1 SEA SSS SAM L6

=> s 16 sss full

FULL SEARCH INITIATED 10:09:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 712 TO ITERATE

100.0% PROCESSED 712 ITERATIONS 57 ANSWERS

SEARCH TIME: 00.00.01

L8 57 SEA SSS FUL L6

=>

Uploading C:\Program Files\Stnexp\Queries\10561259z3.str



```
chain nodes :
6 \quad 7 \quad 8 \quad 9 \quad 20 \quad 21 \quad 22 \quad 23 \quad 24 \quad 25
ring nodes :
1 2 3 4 5 14 15 16 17 18 19
chain bonds :
1-17 \quad 4-6 \quad 5-8 \quad 6-7 \quad 7-9 \quad 7-23 \quad 7-24 \quad 14-20 \quad 20-21 \quad 20-22 \quad 21-25
ring bonds :
1-2 \quad 1-5 \quad 2-3 \quad 3-4 \quad 4-5 \quad 14-15 \quad 14-19 \quad 15-16 \quad 16-17 \quad 17-18 \quad 18-19
exact/norm bonds :
1-2 \quad 1-5 \quad 1-17 \quad 4-6 \quad 5-8 \quad 6-7 \quad 7-9 \quad 7-23 \quad 7-24 \quad 20-21 \quad 20-22 \quad 21-25
exact bonds :
2-3 3-4 4-5 14-20
normalized bonds :
14-15 14-19 15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 14 :
```

G1:Cy, Hy, Ph

G2:Cy, Hy, Ak

G3:Cy, Hy, Ak, Ph

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 10:10:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 286 TO 954
PROJECTED ANSWERS: 1 TO 80

L10 1 SEA SSS SAM L9

=> s 19 sss full

FULL SEARCH INITIATED 10:10:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 712 TO ITERATE

100.0% PROCESSED 712 ITERATIONS 55 ANSWERS

SEARCH TIME: 00.00.01

L11 55 SEA SSS FUL L9

=> FIL HCAPLUS

COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 357.64 546.97

FILE 'HCAPLUS' ENTERED AT 10:11:03 ON 31 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December

26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 31 Mar 2008 VOL 148 ISS 14
FILE LAST UPDATED: 30 Mar 2008 (20080330/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 10:05:52 ON 31 MAR 2008)

FILE 'REGISTRY' ENTERED AT 10:06:02 ON 31 MAR 2008

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 57 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:06:32 ON 31 MAR 2008

L4 3 S L3

L5 1 S L4 AND PY<=2003

FILE 'REGISTRY' ENTERED AT 10:08:52 ON 31 MAR 2008

L6 STRUCTURE UPLOADED

L7 1 S L6

L8 57 S L6 SSS FULL

STRUCTURE UPLOADED

L10 1 S L9

L11 55 S L9 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:11:03 ON 31 MAR 2008

=> s 18

L9

L12 3 L8

=> s 111

L13 3 L11

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1124629 HCAPLUS

DOCUMENT NUMBER: 142:74440

TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-one

derivatives as factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry

Anderson; Kleanthous, Savvas; Mason, Andrew Mcmurtrie;

Watson, Nigel Stephen

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE				ICAT		DATE						
WO	2004110435										20040617								
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,		
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		ТJ,	TM,	TN,	TR,	ΤT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,		
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,		
		SN,	TD,	ΤG															
EP	EP 1635817			A1 20060322			EP 2004-736979						20040617						
EP	1635	817			В1		2006	1122											
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,		
		,	,		,		RO,		,	,	,	,	,	,	,				
	JP 2006527729									JP 2006-515988									
AT	AT 345795					T 20061215				AT 2004-736979						20040617			
										ES 2004-736979									
US	US 20060148879					A1 20060706			US 2005-561545						20051219				
US	US 7329685					B2 20080212													
PRIORIT	RIORITY APPLN. INFO.:									GB 2	003-	1429	9		A 2	0030	619		
										WO 2	004 -	EP65	92	1	W 2	0040	617		
OTHER S GI	OTHER SOURCE(S): GI					PAT	142:	74440	)										

$$N-SO_2$$
 $N-SO_2$ 
 $N$ 

AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, phenyl(alkyl), etc.; R2 = alkyl(cycloalkyl), alkylamino, alkoxyalkyl, etc.; with the proviso that R2 does not present alkylmorpholino; X = (un)substituted Ph or aromatic heterocyclic group; Y = H, halo, alkyl, amino, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was

given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. The prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values less than 0.1  $\mu\text{M}$ , and in measurement of prothrombin time (PT) of human plasma. Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

IT 811788-71-3P 811788-72-4P 811788-73-5P 811788-74-6P 811788-75-7P 811788-76-8P 811788-77-9P 811788-78-0P 811788-79-1P 811788-80-4P 811788-81-5P 811788-82-6P 811788-83-7P 811788-84-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(sulfonylamino)pyrrolidine-2-one derivs. as factor Xa inhibitors)

RN 811788-71-3 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl](cyclopropylmethyl)amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811788-72-4 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][3-(dimethylamino)propyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811788-73-5 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][2-(dimethylamino)ethyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811788-74-6 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[2-(2-amino-2-oxoethoxy)ethyl][[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811788-75-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]cyclopentylamino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 811788-76-8 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][(1-methyl-1H-imidazol-2-yl)methyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811788-77-9 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl](1-methylethyl)amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 811788-78-0 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl](2-pyridinylmethyl)amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 811788-79-1 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[((1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][(3,5-dimethyl-4-isoxazolyl)methyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811788-80-4 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl](2-methoxyethyl)amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811788-81-5 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[((1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][2-(1,1-dimethylethoxy)ethyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811788-82-6 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(3-aminopyrazinyl)methyl][[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811788-83-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]methylamino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 811788-84-8 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]methyl amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

IT 553651-62-0P 553651-68-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-(sulfonylamino)pyrrolidine-2-one derivs. as factor Xa inhibitors)

RN 553651-62-0 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 553651-68-6 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1124628 HCAPLUS

DOCUMENT NUMBER: 142:74439

TITLE: Preparation of 3-(sulfonylamino)pyrrolidine-2-one

derivatives as factor Xa inhibitors

Borthwick, Alan David; Kleanthous, Savvas; Senger, INVENTOR(S):

Stefan; Smith, Ian Edward David

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE				ICAT	DATE						
WO	WO 2004110434					A1 20041223				WO 2	004-		20040617					
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BΖ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NΙ,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	
		SN,	TD,	TG														
EP	• •					A1 20060315				EP 2	004-	7400.	20040617					
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR		
JP									JP 2006-515987									
US	US 20070203206					A1 20070830				US 2	006-	5612	20060428					
PRIORIT	RIORITY APPLN. INFO.:									GB 2	2003-	1437	0	2	A 2	0030	619	
										WO 2	004-	EP65	91	Ţ	W 2	0040	617	
OTHER S	, ,					ARPAT 142:7443				9								

GI

AB Title compds. represented by the formula I [wherein R1 = (un) substituted naphthyl, 2-benzofuryl, thienylalkyl, phenyl(alkyl), etc.; R2 = H, alkyl, alkylamido, carbonylalkoxy, etc.; X = (un) substituted Ph or aromatic heterocyclic group; Y = absent or alkylene; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. Most of the prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values of less than 1  $\mu$ M. Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

IT 811793-44-9P 811793-49-4P 811793-53-0P
811793-56-3P 811793-61-0P 811793-62-1P
811793-65-4P 811793-69-8P 811793-71-2P
811793-74-5P 811793-83-6P 811793-79-0P
811793-82-5P 811793-87-0P 811793-90-5P
811793-92-7P 811793-94-9P 811793-96-1P
811793-98-3P 811793-99-4P 811794-01-1P
811794-02-2P 811794-03-3P 811794-04-4P
811794-11-3P 811794-12-4P 811794-09-9P
811794-11-3P 811794-12-4P 811794-14-6P
811794-16-8P 811794-18-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of 1-phenyl-3-(sulfonylamino)pyrrolidine-2-one derivs. as factor Xa inhibitors)

RN 811793-44-9 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 811793-49-4 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-53-0 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-56-3 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 811793-61-0 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(2-methoxyethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-62-1 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(3-pyridinyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 811793-65-4 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(2-phenylethyl)- (CA INDEX NAME)

Double bond geometry as shown.

RN 811793-69-8 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(4-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 811793-71-2 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(3-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-74-5 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(2-hydroxyethyl)-N-methyl- (CA INDEX NAME)

RN 811793-76-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-79-0 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(2-methoxyethyl)-N-methyl- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-82-5 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methyl- (CA INDEX NAME)

RN 811793-83-6 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylsulfonyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 811793-84-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-2-propenyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-86-9 HCAPLUS

CN Benzamide, N-(2-amino-2-oxoethyl)-4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-(CA INDEX NAME)

RN 811793-87-0 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-90-5 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-92-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-[2-(1H-imidazol-4-yl)ethyl]-N-methyl-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 811793-94-9 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(3-hydroxypropyl)-N-methyl- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-96-1 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[3-(methylamino)-3-oxopropyl]-(CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811793-98-3 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(5-methyl-1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 811793-99-4 HCAPLUS

CN Glycine, N-[4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluorobenzoyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811794-01-1 HCAPLUS

Absolute stereochemistry. Double bond geometry as shown.

$$C1$$
 $S$ 
 $E$ 
 $S$ 
 $N$ 
 $H$ 
 $CO_2H$ 

RN 811794-02-2 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methyl- (CA INDEX NAME)

RN 811794-03-3 HCAPLUS

CN Formic acid, compd. with 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methylbenzamide (1:1) (CA INDEX NAME)

CM 1

CRN 811794-02-2

CMF C24 H26 C1 F N4 O4 S2

# Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

O = CH - OH

RN 811794-04-4 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]- (CA INDEX NAME)

RN 811794-05-5 HCAPLUS

CN Formic acid, compd. with 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]benzamide (1:1) (CA INDEX NAME)

CM 1

CRN 811794-04-4

CMF C23 H24 C1 F N4 O4 S2

Absolute stereochemistry.

CM 2

CRN 64-18-6 CMF C H2 O2

O = CH - OH

RN 811794-07-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(3-pyridinyl)ethyl]- (CA INDEX NAME)

RN 811794-09-9 HCAPLUS

CN Benzamide, N-(2-aminoethyl)-4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 811794-11-3 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811794-12-4 HCAPLUS

CN Formic acid, compd. with 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methylbenzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 811794-11-3

CMF C23 H28 C1 F N4 O4 S2

Absolute stereochemistry. Double bond geometry as shown.

CM 2

CRN 64-18-6 CMF C H2 O2

О== СН− ОН

RN 811794-14-6 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 811794-16-8 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-[2-(1H-imidazol-4-yl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 811794-18-0 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(6-chloro-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:511293 HCAPLUS

DOCUMENT NUMBER: 139:85238

TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-ones as

factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry

Anderson; King, Nigel Paul; Kleanthous, Savvas; Mason, Andrew McMurtrie; Pinto, Ivan Leo; Pollard, Derek Roland; Senger, Stefan; Shah, Gita Punjabhai; Watson,

Nigel Stephen; Young, Robert John

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	D	DATE			APPL	ICAT	DATE					
WO 2003053925				A1 20030703			,	WO 2	002-		20021220					
W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NΖ,	OM,	PH,

```
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     TW 262075
                           В
                                 20060921
                                             TW 2002-91136597
                                                                     20021219
     CA 2471461
                           Α1
                                 20030703
                                             CA 2002-2471461
                                                                     20021220
     AU 2002366747
                                 20030709
                                             AU 2002-366747
                                                                     20021220
                          Α1
     EP 1456172
                                 20040915
                                             EP 2002-805350
                                                                     20021220
                          Α1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                             BR 2002-15200
     BR 2002015200
                                 20041013
                                                                     20021220
                          Α
     CN 1620434
                                 20050525
                                             CN 2002-828224
                                                                     20021220
                           Α
     JP 2005519885
                                 20050707
                                             JP 2003-554642
                                                                     20021220
                           Τ
     HU 2005000137
                                             HU 2005-137
                                 20060228
                                                                     20021220
                          Α2
     NZ 533129
                                 20061222
                                             NZ 2002-533129
                                                                     20021220
                          Α
     RU 2318807
                          C2
                                 20080310
                                             RU 2004-122427
                                                                     20021220
     ZA 2004004147
                          Α
                                 20050621
                                             ZA 2004-4147
                                                                     20040527
     IN 2004DN01467
                           Α
                                 20070209
                                             IN 2004-DN1467
                                                                     20040528
                                             MX 2004-PA6139
     MX 2004PA06139
                          Α
                                 20041101
                                                                     20040621
     NO 2004002990
                                 20040920
                                             NO 2004-2990
                                                                     20040713
                           Α
     US 20050059726
                                 20050317
                                             US 2004-499529
                                                                     20041101
                          Α1
PRIORITY APPLN. INFO.:
                                             GB 2001-30705
                                                                     20011221
                                                                  Α
                                             WO 2002-EP14826
                                                                     20021220
                                                                  W
OTHER SOURCE(S):
                         MARPAT 139:85238
GΙ
```

$$R^2$$
 $N-SO_2-R1$ 
 $N$ 
 $SO_2-Me$ 
 $X$ 
 $Y$ 
 $I$ 

AB Title compds. I [wherein R1 = (un)substituted naphthyl, benzothienyl, benzofuryl, indolyl, phenyl(alkyl), 2,2'-bithiophen-5-yl, thienyl(alkyl), or thieno[3,2-b]thiophenyl; R2 = H, (CH2)nCONRaRb, (CH2)nCO2Rc, morpholinoalkyl, CO2Rc, or carboxyalkyl; X = H, halo, CN, alkyl, alkenyl, CF3, NRaRb, NO2, NRcCHO, NHCORc, NHSO2Rc, alkoxyalkyl, hydroxyalkyl, CORc, CONRaRb, SO0-2Rc, SO2NRaRb, or (un)substituted Ph, heterocyclyl, or heteroaryl; n = 1-3; Ra and Rb = independently H or alkyl; or NRaRb = (un)substituted heterocyclyl; Rc = alkyl; and pharmaceutically acceptable derivs. thereof] were prepared as factor Xa inhibitors. For example,

ΙT

coupling of (3S)-3-amino-1-[3-fluoro-2'-(methylsulfonyl)-1,1'-biphenyl-4-yl]pyrrolidin-2-one with 6-chloro-2-naphthylsulfonyl chloride in the presence of pyridine in DCM gave II. The latter inhibited human factor Xa in an in vitro fluorogenic assay with Ki <10 nM. Thus, I and compns. comprising I are useful as medicines for the amelioration of clin. conditions for which a Factor Xa inhibitor is indicated (no data). <math>553651-65-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(factor Xa inhibitor; preparation of (sulfonylamino)pyrrolidinone factor Xa inhibitors starting from homoserines)

RN 553651-65-3 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

IT 553651-62-0P 553651-66-4P 553651-67-5P,

(S)-4-[3-[[(6-Chlorobenzothien-2-y1)sulfony1]amino]-2-oxopyrrolidin-1-y1]-3-fluoro-N,N-dimethylbenzamide 553651-68-6P 553651-69-7P

, (S)-4-[3-[[(6-Chlorobenzothien-2-yl)sulfonyl]amino]-2-oxopyrrolidin-1-yl]-3-fluoro-N-isopropyl-N-methylbenzamide 553651-92-6P 553651-93-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(factor Xa inhibitor; preparation of (sulfonylamino)pyrrolidinone factor Xa inhibitors starting from homoserines)

RN 553651-62-0 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

RN 553651-66-4 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 553651-67-5 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 553651-68-6 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 553651-69-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(1-methylethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 553651-92-6 HCAPLUS

CN Benzamide, 4-[(3S)-3-[(2-amino-2-oxoethyl)[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 553651-93-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[(2-amino-2-oxoethyl)][(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 15 ibib abs hitstr tot

L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:511293 HCAPLUS

DOCUMENT NUMBER: 139:85238

TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-ones as

factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry

Anderson; King, Nigel Paul; Kleanthous, Savvas; Mason, Andrew McMurtrie; Pinto, Ivan Leo; Pollard, Derek Roland; Senger, Stefan; Shah, Gita Punjabhai; Watson,

Nigel Stephen; Young, Robert John

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.				
		WO 2002-EP14826				
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,			
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,			
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR,	KZ, LC, LK, LR,			
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ,	NO, NZ, OM, PH,			
		SG, SK, SL, TJ, TM,	TN, TR, TT, TZ,			
· · · ·	UZ, VC, VN, YU,	•				
		SL, SZ, TZ, UG, ZM,				
· · · ·		BE, BG, CH, CY, CZ,				
· · · · ·		MC, NL, PT, SE, SI,				
		GW, ML, MR, NE, SN,	•			
		TW 2002-91136597				
		CA 2002-2471461				
AU 2002366747	A1 20030709	AU 2002-366747	20021220 <			
EP 1456172	A1 20040915	EP 2002-805350	20021220			
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,			
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ,	EE, SK			
BR 2002015200	A 20041013	BR 2002-15200	20021220			
CN 1620434	A 20050525	CN 2002-828224	20021220			

JP 2005519885	${f T}$	20050707	JP	2003-554642		20021220
HU 2005000137	A2	20060228	HU	2005-137		20021220
NZ 533129	A	20061222	NΖ	2002-533129		20021220
RU 2318807	C2	20080310	RU	2004-122427		20021220
ZA 2004004147	A	20050621	ZA	2004-4147		20040527
IN 2004DN01467	A	20070209	IN	2004-DN1467		20040528
MX 2004PA06139	A	20041101	MX	2004-PA6139		20040621
NO 2004002990	A	20040920	NO	2004-2990		20040713
US 20050059726	A1	20050317	US	2004-499529		20041101
PRIORITY APPLN. INFO.:			GB	2001-30705	A	20011221
			WO	2002-EP14826	W	20021220

OTHER SOURCE(S): MARPAT 139:85238 GI

Title compds. I [wherein R1 = (un) substituted naphthyl, benzothienyl, AΒ benzofuryl, indolyl, phenyl(alkyl), 2,2'-bithiophen-5-yl, thienyl(alkyl), or thieno[3,2-b]thiophenyl; R2 = H, (CH2)nCONRaRb, (CH2)nCO2Rc, morpholinoalkyl, CO2Rc, or carboxyalkyl; X = H, halo, CN, alkyl, alkenyl, CF3, NRaRb, NO2, NRcCHO, NHCORc, NHSO2Rc, alkoxyalkyl, hydroxyalkyl, CORc, CONRaRb, SO0-2Rc, SO2NRaRb, or (un) substituted Ph, heterocyclyl, or heteroaryl; n = 1-3; Ra and Rb = independently H or alkyl; or NRaRb = (un) substituted heterocyclyl; Rc = alkyl; and pharmaceutically acceptable derivs. thereof] were prepared as factor Xa inhibitors. For example, coupling of (3S)-3-amino-1-[3-fluoro-2'-(methylsulfonyl)-1,1'-biphenyl-4yl]pyrrolidin-2-one with 6-chloro-2-naphthylsulfonyl chloride in the presence of pyridine in DCM gave II. The latter inhibited human factor Xa in an in vitro fluorogenic assay with Ki <10 nM. Thus, I and compns. comprising I are useful as medicines for the amelioration of clin. conditions for which a Factor Xa inhibitor is indicated (no data). 553651-65-3P TΤ

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(factor Xa inhibitor; preparation of (sulfonylamino)pyrrolidinone factor Xa inhibitors starting from homoserines)

RN 553651-65-3 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-

## 10561259

2-oxo-1-pyrrolidinyl]-3-fluoro- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

IT 553651-62-0P 553651-66-4P 553651-67-5P,

(S)-4-[3-[[(6-Chlorobenzothien-2-yl)sulfonyl]amino]-2-oxopyrrolidin-1-yl]-3-fluoro-N,N-dimethylbenzamide 553651-68-6P 553651-69-7P

, (S)-4-[3-[[(6-Chlorobenzothien-2-yl)sulfonyl]amino]-2-oxopyrrolidin-1-yl]-3-fluoro-N-isopropyl-N-methylbenzamide 553651-92-6P 553651-93-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(factor Xa inhibitor; preparation of (sulfonylamino)pyrrolidinone factor Xa inhibitors starting from homoserines)

RN 553651-62-0 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 553651-66-4 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 553651-67-5 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 553651-68-6 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 553651-69-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(1-methylethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 553651-92-6 HCAPLUS

CN Benzamide, 4-[(3S)-3-[(2-amino-2-oxoethyl)][(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-(CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 553651-93-7 HCAPLUS

CN Benzamide, 4-[(3S)-3-[(2-amino-2-oxoethyl)][(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 112 ibib abs tot

L12 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

## 10561259

ACCESSION NUMBER: 2004:1124629 HCAPLUS

DOCUMENT NUMBER: 142:74440

TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-one

derivatives as factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry

Anderson; Kleanthous, Savvas; Mason, Andrew Mcmurtrie;

Watson, Nigel Stephen

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT N	0.	KIN	)	DATE				LICAT		DATE					
WO 20041	10435		A1	_	2004	1223							2	0040	617
₩:	AE, AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,
ı	CN, CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
1	GE, GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
	LK, LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	, MK,	MN,	MW,	MX,	MΖ,	NA,	NΙ,
•	NO, NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	TJ, TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
RW:	BW, GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	, SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	AZ, BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
	SI, SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
	SN, TD,	ΤG													
EP 16358	17		A1		2006	0322		EP 2	2004-	7369	79		2	0040	617
EP 16358	17		В1	2006	1122										
R: .	AT, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE, SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	, CZ,	EE,	HU,	PL,	SK,	HR	
JP 20065															
AT 34579 ES 22763	5		${ m T}$		2006	1215		AT 2	2004-	7369	79		2	0040	617
ES 22763	07		Т3		2007	0616		ES 2	2004-	7369	79		2	0040	617
US 20060	148879		A1		2006	0706		US 2	2005-	5615	45		2	0051	219
US 73296	85		В2		2008	0212									
PRIORITY APPL	N. INFO	.:						GB 2	2003-	1429	9	i	A 2	0030	619
								WO 2	2004-1	EP65	92	Ţ	W 2	0040	617
OTHER SOURCE(	S):		MAR	PAT	142:	7444(	)								

AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, phenyl(alkyl), etc.; R2 = alkyl(cycloalkyl), alkylamino, alkoxyalkyl, etc.; with the proviso that R2 does not present alkylmorpholino; X = (un)substituted Ph or aromatic heterocyclic group; Y = H, halo, alkyl, amino, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. The prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values less than 0.1  $\mu$ M, and in measurement of prothrombin time (PT) of human plasma. Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1124628 HCAPLUS

DOCUMENT NUMBER: 142:74439

TITLE: Preparation of 3-(sulfonylamino)pyrrolidine-2-one

derivatives as factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Kleanthous, Savvas; Senger,

Stefan; Smith, Ian Edward David

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.					KINI	)	DATE		1	APPL	ICAT	DATE					
WO 20	00411	043	4		 A1	_	2004	 1223	1	MO 2	 004-1		20040617				
V	√: A	E, .	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	C	N,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
	G	E,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
	L	Κ,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,

```
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                                            EP 2004-740039
     EP 1633347
                                20060315
                          Α1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
     JP 2006527728
                          Τ
                                20061207
                                            JP 2006-515987
     US 20070203206
                          Α1
                                20070830
                                            US 2006-561259
                                                                    20060428
PRIORITY APPLN. INFO.:
                                            GB 2003-14370
                                                                 A 20030619
                                            WO 2004-EP6591
                                                                 W 20040617
                         MARPAT 142:74439
OTHER SOURCE(S):
```

GT

AΒ Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, thienylalkyl, phenyl(alkyl), etc.; R2 = H, alkyl, alkylamido, carbonylalkoxy, etc.; X = (un)substituted Ph or aromatic heterocyclic group; Y = absent or alkylene; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3furanyl)carbamate. Most of the prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values of less than 1  $\mu\text{M}$ . Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:511293 HCAPLUS

DOCUMENT NUMBER: 139:85238

TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-ones as

factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry

Anderson; King, Nigel Paul; Kleanthous, Savvas; Mason,

Andrew McMurtrie; Pinto, Ivan Leo; Pollard, Derek

Roland; Senger, Stefan; Shah, Gita Punjabhai; Watson, Nigel Stephen; Young, Robert John Glaxo Group Limited, UK

PATENT ASSIGNEE(S): PCT Int. Appl., 112 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.			KIND DATE				APE	PLI		DATE						
WO	2003	0539.	 25				2003	0703		WO	20	02-1	EP14	 826			 20021	220
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BE	3,	ΒG,	BR,	BY,	BZ,	CA	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ΕC	Ξ,	EΕ,	ES,	FΙ,	GB,	GD	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	Ξ, :	KG,	KP,	KR,	KΖ,	LC	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	<b>1,</b> ]	MW,	MX,	MZ,	NO,	NZ	, OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SF	ζ,	SL,	ΤJ,	TM,	TN,	TR	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZN	1,	ΖW						
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ	Ζ,	TZ,	UG,	ZM,	ZW,	AM	, AZ,	BY,
		KG,	KΖ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG	3,	CH,	CY,	CZ,	DE,	DK	, EE,	ES,
		FΙ,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NI		PΤ,	SE,	SI,	SK,	TR	, BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	MI	i, i	MR,	NE,	SN,	TD,	ΤG		
TW	2620	75			В		2006	0921		TW	20	02-9	9113	6597			20021	219
CA	2471	461			A1		2003	0703		CA	20	02 - 2	2471	461		:	20021	220
	2002																	
EP	1456	172			A1		2004	0915		ΕP	20	02-8	3053	50			20021	220
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	۲,	ΙT,	LI,	LU,	NL,	SE	, MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	,	TR,	BG,	CZ,	EE,	SK		
BR	2002	0152	00		А		2004	1013		BR	20	02 - 1		20021220				
CN	1620	434			А		2005	0525		CN	20	02 - 8		20021220				
JP	2005 2005	5198	85		T		2005	0707		JΡ	20	03-			20021	220		
HU	2005	0001	37		A2		2006	0228		HU	20	05 - 3	137				20021	220
NZ	5331	29			А		2006	1222		NZ	20	02-	5331	29		:	20021	220
RU	2318	807			C2		2008	0310		RU	20	04 - 1	1224.	27		:	20021	220
ĽΑ	2004	0041	47		А		2005	0621		ĽΑ	20	04 - 6	4147				20040	527
	2004																20040	
	2004																20040	621
	2004									ИО	20	04 - 2	2990			:	20040	_
	US 20050059726						2005	0317		US 2004-499529 GB 2001-30705 WO 2002-EP14826						:	20041	101
RIORIT	IORITY APPLN. INFO.:									GB	20	01 - 3	3070	5		Α :	20011	221
										WO	20	02-I	EP14	826		W :	20021	220
THER SO	OURCE	(S):			MARPAT 139:85238				3									

03/31/2008

$$\begin{array}{c}
R^2 \\
N - SO_2 - R^1
\end{array}$$
 $\begin{array}{c}
N \\
V \\
X \\
V \\
Y
\end{array}$ 

Title compds. I [wherein R1 = (un) substituted naphthyl, benzothienyl, AΒ benzofuryl, indolyl, phenyl(alkyl), 2,2'-bithiophen-5-yl, thienyl(alkyl), or thieno[3,2-b]thiophenyl; R2 = H, (CH2)nCONRaRb, (CH2)nCO2Rc, morpholinoalkyl, CO2Rc, or carboxyalkyl; X = H, halo, CN, alkyl, alkenyl, CF3, NRaRb, NO2, NRcCHO, NHCORc, NHSO2Rc, alkoxyalkyl, hydroxyalkyl, CORc, CONRARD, SO0-2Rc, SO2NRARD, or (un) substituted Ph, heterocyclyl, or heteroaryl; n = 1-3; Ra and Rb = independently H or alkyl; or NRaRb = (un) substituted heterocyclyl; Rc = alkyl; and pharmaceutically acceptable derivs. thereof] were prepared as factor Xa inhibitors. For example, coupling of (3S)-3-amino-1-[3-fluoro-2'-(methylsulfonyl)-1,1'-biphenyl-4yl]pyrrolidin-2-one with 6-chloro-2-naphthylsulfonyl chloride in the presence of pyridine in DCM gave II. The latter inhibited human factor Xa in an in vitro fluorogenic assay with Ki <10 nM. Thus, I and compns. comprising I are useful as medicines for the amelioration of clin. conditions for which a Factor Xa inhibitor is indicated (no data). REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

## => d 113 ibib abs tot

L13 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1124629 HCAPLUS

DOCUMENT NUMBER: 142:74440

Ι

TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-one

derivatives as factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry

Anderson; Kleanthous, Savvas; Mason, Andrew Mcmurtrie;

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ΙI

Watson, Nigel Stephen

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

	PATENT NO.										LICAT			DATE				
											2004-				2	0040	617	
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NA,	ΝΙ,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	, SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD	, SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	IΤ	, LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM	, GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
		SN,	TD,	ΤG														
										EP :	2004-	7369	79		2	0040	617	
EP	1635	817			B1 20061122													
	R:										, IT,						PT,	
											, CZ,							
JP	2006	5277	29		T		2006	1207		JP :	2006-	5159	88		2	0040	617	
AT	3457	95			Τ		2006	1215		AT :	2004- 2004-	7369	79		2	0040	617	
ES	2276	307			Т3		2007	0616		ES :	2004-	7369	79		2	0040	617	
US	2006	0148	879		A1		2006	0706		US :	2005-	5615	45		2	0051	219	
US	7329	685			В2		2008	0212										
PRIORIT	PRIORITY APPLN. INFO.:									GB :	2003-	1429	9	7	A 2	0030	619	
										WO :	2004-	EP65	92	Ī	W 2	0040	617	
OTHER SO	OURCE	(S):			MAR:	PAT	142:	7444(	)									

$$N-SO_2$$
 $N-SO_2$ 
 $N$ 

AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, phenyl(alkyl), etc.; R2 = alkyl(cycloalkyl), alkylamino, alkoxyalkyl, etc.; with the proviso that R2 does not present alkylmorpholino; X = (un)substituted Ph or aromatic heterocyclic group; Y = H, halo, alkyl, amino, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. The prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values less than 0.1  $\mu$ M, and in measurement of prothrombin time (PT) of human plasma. Thus, I and their

pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1124628 HCAPLUS

DOCUMENT NUMBER: 142:74439

TITLE: Preparation of 3-(sulfonylamino)pyrrolidine-2-one

derivatives as factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Kleanthous, Savvas; Senger,

Stefan; Smith, Ian Edward David

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						D					DATE						
	WO	2004	1104	 34		A1	_	2004			WO 2						0040	
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	ΝI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
			SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$ ext{ML}$ ,	MR,	ΝE,
			SN,	TD,	ΤG													
	ΕP	1633	347			A1		2006	0315		EP 2	004-	7400	39		20040617		
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR	
	JΡ	2006	5277	28		${ m T}$		2006	1207		JP 2	006-	5159	87		2	0040	617
	US 20070203206					A1		2007	0830		US 2	006-	5612	59		20060428		
PRIO	RIORITY APPLN. INFO.:										GB 2							
											WO 2	004 -	EP65	91		W 2	0040	617
OTHER	THER SOURCE(S):					MAR.	PAT	142:	7443	9								

OTHER SOURCE(S): MARPAT 142:74439

GT

AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, thienylalkyl, phenyl(alkyl), etc.; R2 = H, alkyl, alkylamido, carbonylalkoxy, etc.; X = (un)substituted Ph or aromatic heterocyclic group; Y = absent or alkylene; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. Most of the prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values of less than 1  $\mu M$ . Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:511293 HCAPLUS

DOCUMENT NUMBER: 139:85238

TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-ones as

factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry

Anderson; King, Nigel Paul; Kleanthous, Savvas; Mason, Andrew McMurtrie; Pinto, Ivan Leo; Pollard, Derek Roland; Senger, Stefan; Shah, Gita Punjabhai; Watson,

Nigel Stephen; Young, Robert John

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT		KIND DATE					APPL	ICAT		DATE							
WO 2003	 10539	 25		 A1	_	 2003	 0703		 ₩0 2	 112-	 EP14	 826		20021220			
														_			
W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AΖ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NZ,	OM,	PH,	

```
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     TW 262075
                           В
                                 20060921
                                             TW 2002-91136597
                                                                     20021219
     CA 2471461
                           Α1
                                 20030703
                                             CA 2002-2471461
                                                                     20021220
     AU 2002366747
                                 20030709
                                             AU 2002-366747
                                                                     20021220
                          Α1
     EP 1456172
                                 20040915
                                             EP 2002-805350
                                                                     20021220
                          Α1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                             BR 2002-15200
     BR 2002015200
                                 20041013
                                                                     20021220
                          Α
     CN 1620434
                                 20050525
                                             CN 2002-828224
                                                                     20021220
                           Α
     JP 2005519885
                                 20050707
                                             JP 2003-554642
                                                                     20021220
                           Τ
     HU 2005000137
                                             HU 2005-137
                                 20060228
                                                                     20021220
                          Α2
     NZ 533129
                                 20061222
                                             NZ 2002-533129
                                                                     20021220
                          Α
     RU 2318807
                          C2
                                 20080310
                                             RU 2004-122427
                                                                     20021220
     ZA 2004004147
                          Α
                                 20050621
                                             ZA 2004-4147
                                                                     20040527
     IN 2004DN01467
                           Α
                                 20070209
                                             IN 2004-DN1467
                                                                      20040528
                                             MX 2004-PA6139
     MX 2004PA06139
                          Α
                                 20041101
                                                                      20040621
     NO 2004002990
                                 20040920
                                             NO 2004-2990
                                                                      20040713
                           Α
     US 20050059726
                                 20050317
                                             US 2004-499529
                                                                      20041101
                          Α1
PRIORITY APPLN. INFO.:
                                             GB 2001-30705
                                                                     20011221
                                                                  Α
                                             WO 2002-EP14826
                                                                     20021220
                                                                  W
OTHER SOURCE(S):
                         MARPAT 139:85238
GΙ
```

AB Title compds. I [wherein R1 = (un)substituted naphthyl, benzothienyl, benzofuryl, indolyl, phenyl(alkyl), 2,2'-bithiophen-5-yl, thienyl(alkyl), or thieno[3,2-b]thiophenyl; R2 = H, (CH2)nCONRaRb, (CH2)nCO2Rc, morpholinoalkyl, CO2Rc, or carboxyalkyl; X = H, halo, CN, alkyl, alkenyl, CF3, NRaRb, NO2, NRcCHO, NHCORc, NHSO2Rc, alkoxyalkyl, hydroxyalkyl, CORc, CONRaRb, SOO-2Rc, SO2NRaRb, or (un)substituted Ph, heterocyclyl, or heteroaryl; n = 1-3; Ra and Rb = independently H or alkyl; or NRaRb =

(un)substituted heterocyclyl; Rc = alkyl; and pharmaceutically acceptable
derivs. thereof] were prepared as factor Xa inhibitors. For example,
coupling of (3S)-3-amino-1-[3-fluoro-2'-(methylsulfonyl)-1,1'-biphenyl-4yl]pyrrolidin-2-one with 6-chloro-2-naphthylsulfonyl chloride in the
presence of pyridine in DCM gave II. The latter inhibited human factor Xa
in an in vitro fluorogenic assay with Ki <10 nM. Thus, I and compns.
comprising I are useful as medicines for the amelioration of clin.
conditions for which a Factor Xa inhibitor is indicated (no data).
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS</pre>

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 55.40 602.37 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -8.00 CA SUBSCRIBER PRICE -8.00

STN INTERNATIONAL LOGOFF AT 10:14:39 ON 31 MAR 2008